

<10718925>

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NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
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NEWS 4 OCT 28 KOREAPAT now available on STN  
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NEWS 6 DEC 01 LISA now available on STN  
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NEWS 8 DEC 15 MEDLINE update schedule for December 2004  
NEWS 9 DEC 17 ELCOM reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 10 DEC 17 COMPUAB reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 11 DEC 17 SOLIDSTATE reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 12 DEC 17 CERAB reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 13 DEC 17 THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB  
NEWS 14 DEC 30 EPFULL: New patent full text database to be available on STN  
NEWS 15 DEC 30 CAPLUS - PATENT COVERAGE EXPANDED  
NEWS 16 JAN 03 No connect-hour charges in EPFULL during January and  
February 2005  
NEWS 17 FEB 25 CA/CAPLUS - Russian Agency for Patents and Trademarks  
(ROSPATENT) added to list of core patent offices covered  
NEWS 18 FEB 10 STN Patent Forums to be held in March 2005  
NEWS 19 FEB 16 STN User Update to be held in conjunction with the 229th ACS  
National Meeting on March 13, 2005  
NEWS 20 FEB 28 PATDPAFULL - New display fields provide for legal status  
data from INPADOC  
NEWS 21 FEB 28 BABS - Current-awareness alerts (SDIs) available  
NEWS 22 FEB 28 MEDLINE/LMEDLINE reloaded  
NEWS 23 MAR 02 GBFULL: New full-text patent database on STN  
NEWS 24 MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced  
NEWS 25 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
  
NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005  
  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN

<10718925>

NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 08:56:13 ON 07 MAR 2005

=> FIL STNGUIDE

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'STNGUIDE' ENTERED AT 08:56:43 ON 07 MAR 2005

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FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Mar 4, 2005 (20050304/UP).

=> FIL HOME

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.06

0.27

FILE 'HOME' ENTERED AT 08:56:49 ON 07 MAR 2005

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

1.05

1.32

FILE 'REGISTRY' ENTERED AT 08:59:32 ON 07 MAR 2005

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STRUCTURE FILE UPDATES: 4 MAR 2005 HIGHEST RN 842949-55-7

DICTIONARY FILE UPDATES: 4 MAR 2005 HIGHEST RN 842949-55-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more

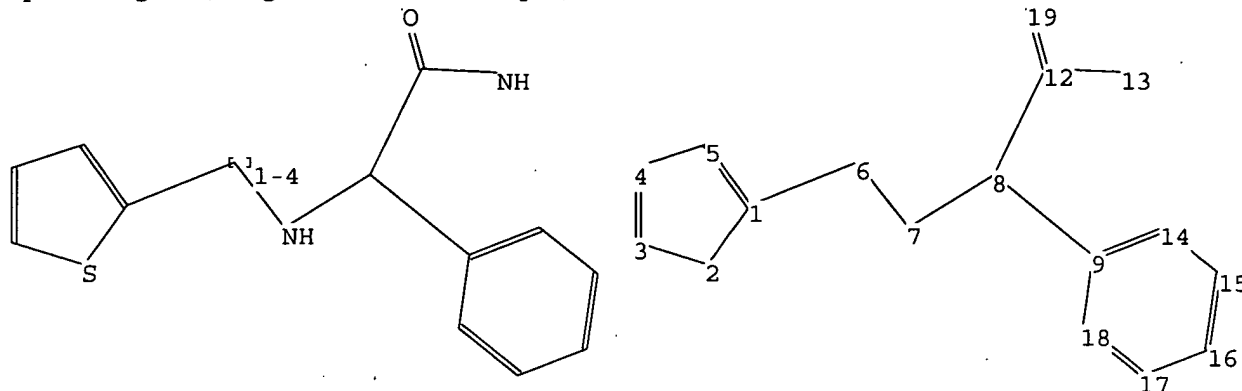
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information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10718925.str



chain nodes :

6 7 8 12 13 19

ring nodes :

1 2 3 4 5 9 14 15 16 17 18

chain bonds :

1-6 6-7 7-8 8-9 8-12 12-13 12-19

ring bonds :

1-2 1-5 2-3 3-4 4-5 9-14 9-18 14-15 15-16 16-17 17-18

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5 6-7 7-8 12-13 12-19

exact bonds :

1-6 8-9 8-12

normalized bonds :

9-14 9-18 14-15 15-16 16-17 17-18

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:Atom 12:CLASS  
13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS

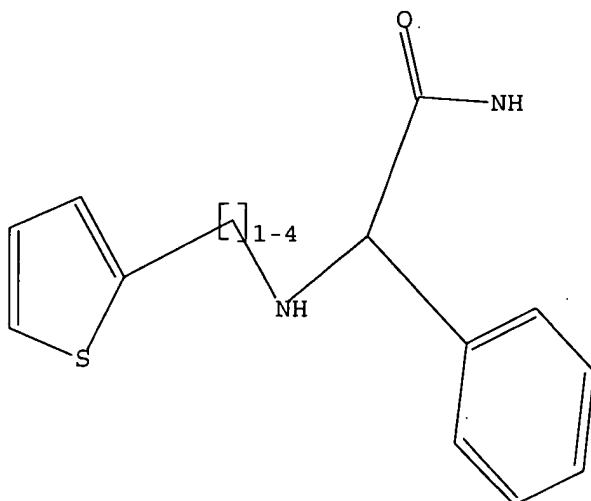
L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

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Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 08:59:54 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 160 TO ITERATE

100.0% PROCESSED 160 ITERATIONS  
SEARCH TIME: 00.00.01

4 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 2442 TO 3958  
PROJECTED ANSWERS: 4 TO 200

L2 4 SEA SSS SAM L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.43	1.75

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 09:00:01 ON 07 MAR 2005

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 7 Mar 2005 VOL 142 ISS 11  
FILE LAST UPDATED: 6 Mar 2005 (20050306/ED)

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

=> s 12

L3 6 L2

=> d ibib abs hitstr tot

L3 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:308415 CAPLUS

DOCUMENT NUMBER: 140:321240

TITLE: Preparation of lactam-containing diaminoalkanes,  
β-amino acids, α-amino acids and  
derivatives thereof as factor Xa inhibitors

INVENTOR(S): Qiao, Jennifer X.; Han, Wei

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 172 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

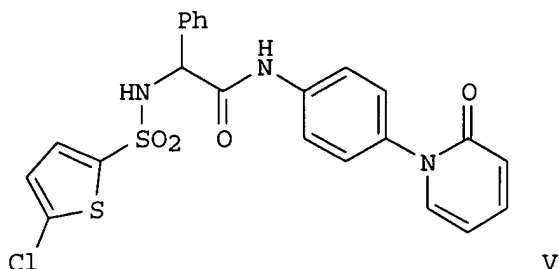
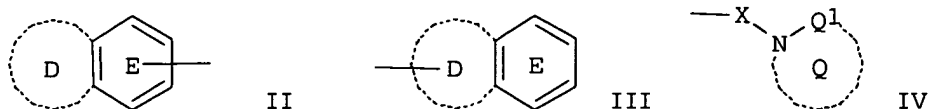
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031145	A2	20040415	WO 2003-US31079	20031001
WO 2004031145	A3	20040701		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004077635	A1	20040422	US 2003-677063	20031001
PRIORITY APPLN. INFO.:			US 2002-415366P	P 20021002
			US 2002-417208P	P 20021009

OTHER SOURCE(S): MARPAT 140:321240

GI



AB The title compds. PMM1 [I; one of P and M1 = G and the other -AB; G = II, III (wherein ring D, including the two carbon atoms of ring E to which it is attached, is (un)substituted 5-6 membered ring consisting of carbon atoms and 0-3 heteroatoms selected from N, O, S(O)0-2; ring D may contain 0-3 ring double bonds; ring E = (un)substituted Ph, pyridyl, pyrimidinyl, etc.; alternatively, ring D is absent); M = (un)substituted 3-8 membered linear chain consisting of carbon atoms, carbonyl groups, thiocarbonyl, heteroatoms, and there are 0-2 double bonds and 0-1 triple bond; A = (un)substituted carbocycle, 5-12 membered heterocycle; B = IV (wherein Q1 = CO, SO<sub>2</sub>; ring Q = (un)substituted 4-8 membered monocyclic or bicyclic ring optionally containing optionally heteroatoms, and optionally fused, etc.; X = absent, CO, SO, SO<sub>2</sub>, etc.)], useful as inhibitors of trypsin-like serine proteases, specifically factor Xa for treating thromboembolic disorder, were prepared E.g., a 3-step synthesis of V, starting from 1-(4-aminophenyl)-1H-pyridin-2-one and Boc-DL-PHG-OH, was given. The number of compds. I were found to exhibit K<sub>i</sub>'s of ≤ 10 μM against human factor Xa. The pharmaceutical composition comprising the compound I is claimed.

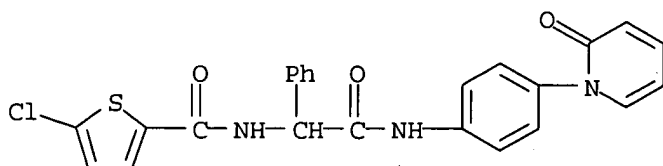
IT **678174-75-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of lactam-containing diaminoalkanes, β-amino acids, α-amino acids and derivs. thereof as factor Xa inhibitors for treating thromboembolic disorder)

RN 678174-75-9 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[2-oxo-2-[[4-(2-oxo-1(2H)-pyridinyl)phenyl]amino]-1-phenylethyl]- (9CI) (CA INDEX NAME)



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L3 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:761898 CAPLUS

DOCUMENT NUMBER: 130:25057

TITLE: New process for preparation of methyl  
(2-halophenyl)(6,7-dihydro-4H-thieno[3,2-c]pyridin-5-  
yl)acetates with antithrombotic activity

INVENTOR(S): Bakonyi, Maria; Csatari Nagy, Marianna; Molnar,  
Levente, Mrs.; Gajary, Antal; Alattyani, Edit

PATENT ASSIGNEE(S): Sanofi, Fr.

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

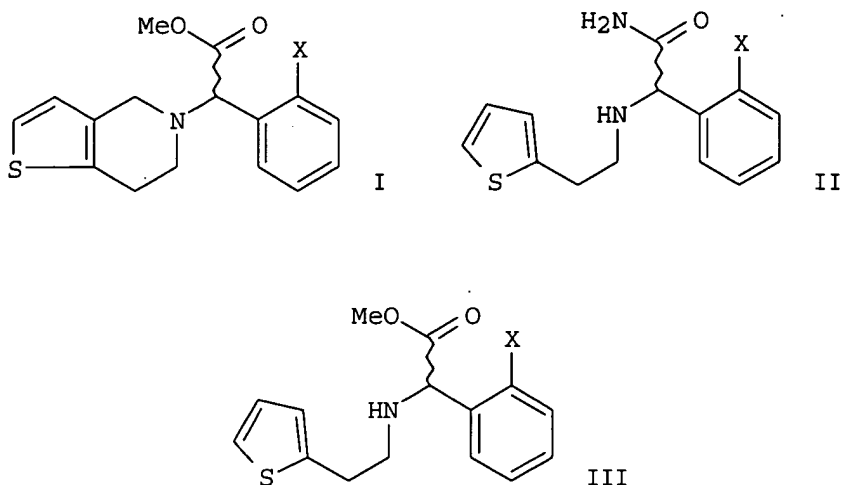
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9851689	A1	19981119	WO 1998-HU48	19980511
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
HR 980240	B1	20030228	HR 1998-980240	19980506
ZA 9803921	A	19981109	ZA 1998-3921	19980508
CA 2289623	AA	19981119	CA 1998-2289623	19980511
AU 9874448	A1	19981208	AU 1998-74448	19980511
AU 735702	B2	20010712		
EP 981529	A1	20000301	EP 1998-921670	19980511
EP 981529	B1	20020116		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
TR 9902783	T2	20000421	TR 1999-9902783	19980511
EE 9900488	A	20000615	EE 1999-488	19980511
EE 3925	B1	20021216		
BR 9809112	A	20000801	BR 1998-9112	19980511
RU 2172315	C1	20010820	RU 1999-126506	19980511
NZ 501577	A	20011026	NZ 1998-501577	19980511
JP 2001525819	T2	20011211	JP 1998-548956	19980511
AT 212025	E	20020215	AT 1998-921670	19980511
PT 981529	T	20020628	PT 1998-921670	19980511
ES 2172141	T3	20020916	ES 1998-921670	19980511
CN 1109036	B	20030521	CN 1998-805036	19980511
SK 283700	B6	20031202	SK 1999-1516	19980511
CZ 292820	B6	20031217	CZ 1999-3939	19980511
EG 21973	A	20020531	EG 1998-520	19980512
TW 552263	B	20030911	TW 1998-87109424	19980612
NO 9905533	A	19991213	NO 1999-5533	19991112
MX 9910434	A	20000831	MX 1999-10434	19991112
US 6180793	B1	20010130	US 1999-423549	19991112
HK 1027350	A1	20031017	HK 2000-106408	20001010
PRIORITY APPLN. INFO.:			HU 1997-885	A 19970513
			WO 1998-HU48	W 19980511

OTHER SOURCE(S): MARPAT 130:25057

GI



AB A process for the preparation of title compds. I [X = halo] from [[2-(2-thienyl)ethyl]amino] (2-halophenyl)acetamides II via Me (thienylethylamino) (halophenyl)acetate derivs. III is disclosed. I and their salts, e.g., the drug clopidogrel, have platelet-aggregation-inhibitory and antithrombotic activity (no data). The method eliminates the use of lachrymatory and irritant  $\alpha$ -halophenylacetic acid derivs. as intermediates. For instance, II [X = Cl] (preparation given) was hydrolyzed with H<sub>2</sub>SO<sub>4</sub> in MeOH to give the corresponding Me ester hydrochloride III.HCl [X = Cl] (82.5%), which was cyclized with paraformaldehyde in formic acid to give the HCl salt of clopidogrel racemate, i.e., I.HCl [X = Cl], in 86.6% yield. A total of 22 examples illustrate both racemic and optically active variations of different steps in the overall process.

IT 216249-70-6P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; improved preparation of clopidogrel and analogs via (thienylethylamino) (halophenyl)acetamides and -acetates)

RN 216249-70-6 CAPLUS

CN Benzeneacetamide, 2-chloro- $\alpha$ -[[2-(2-thienyl)ethyl]amino]-, ( $\alpha$ R)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

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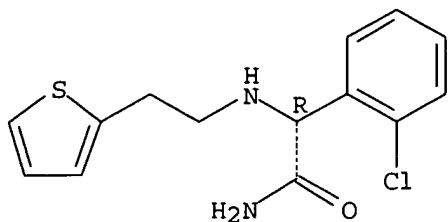
CRN 216249-69-3

CMF C14 H15 Cl N2 O S

Absolute stereochemistry. Rotation (-).



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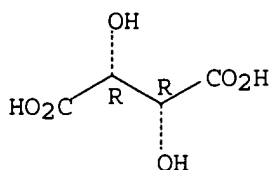


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:761892 CAPLUS

DOCUMENT NUMBER: 130:24964

TITLE: New 2-[(2-thienyl)ethylamino](2-halophenyl)acetonitrile intermediates for clopidogrel and analogs, and process for their preparation

INVENTOR(S): Heymes, Alain; Castro, Bertrand; Bakonyi, Maria; Csatari Nagy, Marianna; Molnar, Levente, Mrs.

PATENT ASSIGNEE(S): Sanofi, Fr.

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

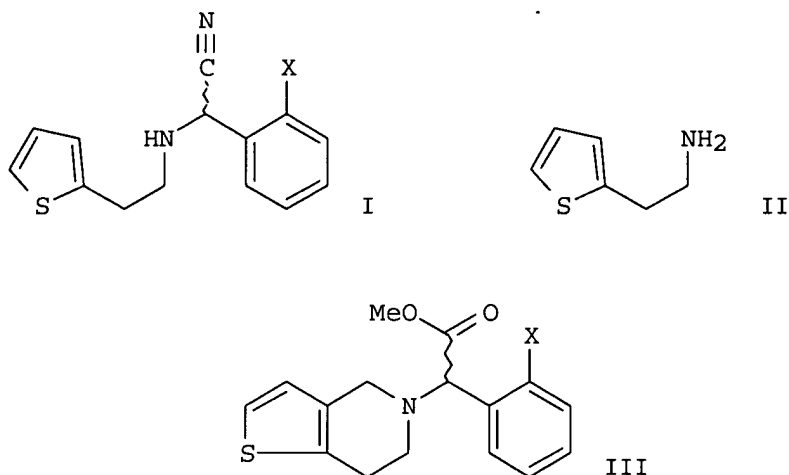
FAMILY ACC. NUM. COUNT: 1

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WO 9851682	A1	19981119	WO 1998-HU46	19980511
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RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2288637	AA	19981119	CA 1998-2288637	19980511
AU 9874446	A1	19981208	AU 1998-74446	19980511

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EP 981525	A1	20000301	EP 1998-921668	19980511
EP 981525	B1	20040128		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9809113	A	20000801	BR 1998-9113	19980511
JP 2001525817	T2	20011211	JP 1998-548954	19980511
AT 258551	E	20040215	AT 1998-921668	19980511
PT 981525	T	20040531	PT 1998-921668	19980511
ES 2213900	T3	20040901	ES 1998-921668	19980511
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MX 9910431	A	20000831	MX 1999-10431	19991112
US 6215005	B1	20010410	US 2000-423548	20000503
PRIORITY APPLN. INFO.:			HU 1997-886	A 19970513
			WO 1998-HU46	W 19980511
OTHER SOURCE(S):			MARPAT 130:24964	
GI				



- AB A process for the preparation of [[2-(2-thienyl)ethyl]amino] (2-halophenyl)acetonitriles I [X = halo] from [2-(2-thienyl)ethyl]amine (II) is disclosed. I are valuable intermediates for Me (2-halophenyl) (6,7-dihydro-4H-thieno[3,2-c]pyridin-5-yl)acetates III and their salts, e.g., the drug clopidogrel, which have platelet-aggregation-inhibitory and antithrombotic activity (no data). The method eliminates the use of lacrimatory and irritant  $\alpha$ -halophenylacetic acid derivs. as intermediates. For instance, II.HCl was added to aqueous NaCN, followed by o-chlorobenzaldehyde in EtOH, and the mixture was stirred at 60° for 2 h, to give 94% I [X = Cl]. The latter nitrile in MeOAc was treated with HCl gas and then MeOH to give 94% of the corresponding amide hydrochloride, which was neutralized in 88.2% yield. The resultant amide free base was hydrolyzed with H<sub>2</sub>SO<sub>4</sub> in MeOH to give the corresponding Me ester (as hydrochloride, 82%), which was cyclized with paraformaldehyde in formic acid to give the HCl salt of clopidogrel racemate, i.e., III.HCl [X = Cl], in 86.6% yield. A total of 22 examples illustrate both racemic and optically active variations of various steps in the overall process.
- IT **216249-70-6P**  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(process intermediate; preparation of (thienylethylamino) (halophenyl) acetoni

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triles as new intermediates for clopidogrel and analogs)

RN 216249-70-6 CAPLUS

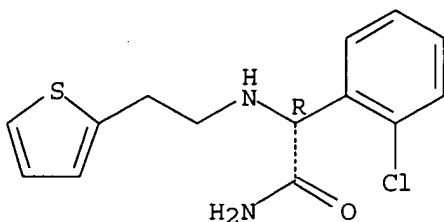
CN Benzeneacetamide, 2-chloro- $\alpha$ -[[2-(2-thienyl)ethyl]amino]-, ( $\alpha$ R)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 216249-69-3

CMF C14 H15 Cl N2 O S

Absolute stereochemistry. Rotation (-).

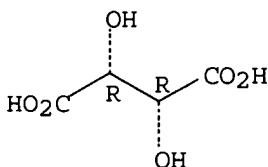


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:761891 CAPLUS

DOCUMENT NUMBER: 130:24963

TITLE: New 2-[(2-thienyl)ethylamino] (2-halophenyl)acetamide intermediates for clopidogrel and analogs, and process for their preparation

INVENTOR(S): Bakonyi, Maria; Csatari Nagy, Marianna; Molnar, Levente, Mrs.; Makovi, Zoltan; Jobb, Piroska; Bai, Tibor, Mrs.

PATENT ASSIGNEE(S): Sanofi, Fr.

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

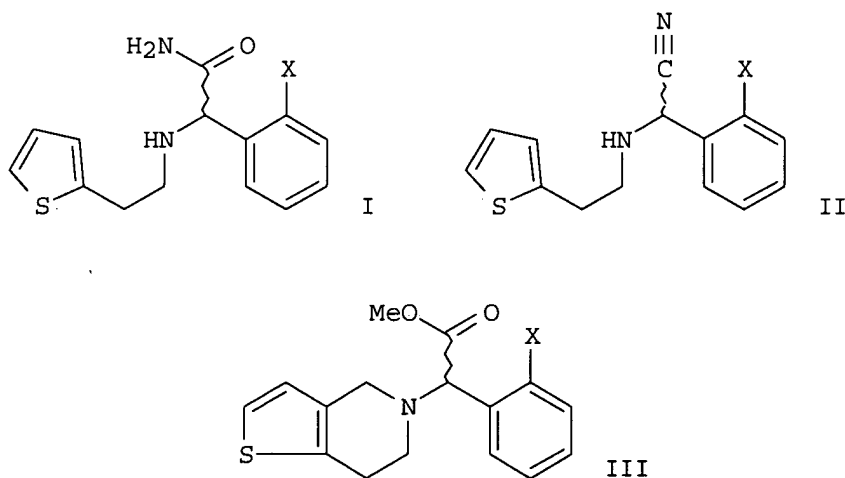
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9851681	A1	19981119	WO 1998-HU47	19980511
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2289545	AA	19981119	CA 1998-2289545	19980511
AU 9874447	A1	19981208	AU 1998-74447	19980511
EP 981524	A1	20000301	EP 1998-921669	19980511
EP 981524	B1	20030423		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9809111	A	20000801	BR 1998-9111	19980511
JP 2001525818	T2	20011211	JP 1998-548955	19980511
AT 238294	E	20030515	AT 1998-921669	19980511
PT 981524	T	20030829	PT 1998-921669	19980511
ES 2195335	T3	20031201	ES 1998-921669	19980511
NO 9905532	A	19991213	NO 1999-5532	19991112
MX 9910433	A	20000630	MX 1999-10433	19991112
US 6258961	B1	20010710	US 1999-423801	19991112
PRIORITY APPLN. INFO.:			HU 1997-884	A 19970513
			WO 1998-HU47	W 19980511
OTHER SOURCE(S):			CASREACT 130:24963; MARPAT 130:24963	
GI				



AB A process for the preparation of [[2-(2-thienyl)ethyl]amino] (2-halophenyl)acetamides I [X = halo] from nitriles II is disclosed. I are valuable intermediates for Me (2-halophenyl) (6,7-dihydro-4H-thieno[3,2-c]pyridin-5-yl)acetates III and their salts, e.g., the drug clopidogrel, which have platelet-aggregation-inhibitory and antithrombotic activity (no data). The method eliminates the use of lachrymatory and irritant  $\alpha$ -halophenylacetic acid derivs. as intermediates. For instance, II [X = Cl] in MeOAc at 15-25° was treated with HCl gas and then MeOH

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to give after 6 h a precipitate of crystalline I.HCl [X = Cl] in 94% yield.

This

amide was hydrolyzed with H<sub>2</sub>SO<sub>4</sub> in MeOH to give the corresponding Me ester hydrochloride (82%), which was cyclized with paraformaldehyde in formic acid to give the HCl salt of clopidogrel racemate, i.e., III.HCl [X = Cl], in 86.6% yield. A total of 22 examples illustrate both racemic and optically active variations of different steps in the overall process.

IT 216249-70-6P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(invention intermediate; preparation of (thienylethylamino) (halophenyl)acetamides as new intermediates for clopidogrel and analogs)

RN 216249-70-6 CAPLUS

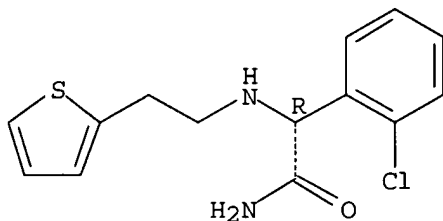
CN Benzeneacetamide, 2-chloro- $\alpha$ -[[2-(2-thienyl)ethyl]amino]-, ( $\alpha$ R)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 216249-69-3

CMF C14 H15 Cl N2 O S

Absolute stereochemistry. Rotation (-).

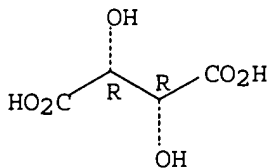


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1986:33940 CAPLUS

DOCUMENT NUMBER: 104:33940

TITLE: Cephalosporin derivatives

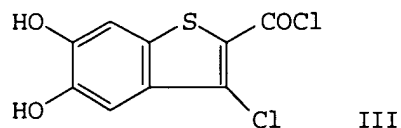
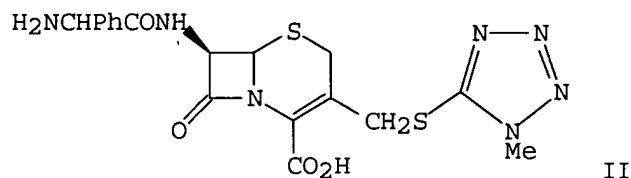
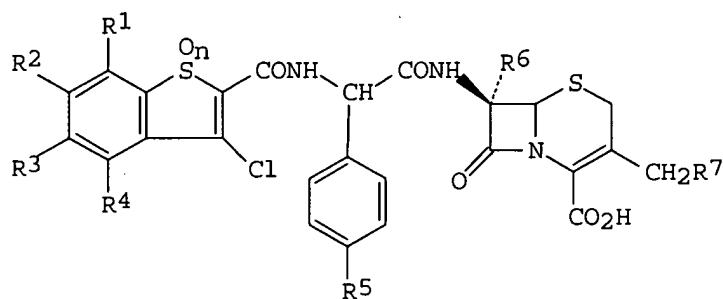
PATENT ASSIGNEE(S): Zenyaku Kogyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.

<10718925>

DOCUMENT TYPE: CODEN: JKXXAF  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: 1 Japanese  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60100586	A2	19850604	JP 1983-207015	19831104
PRIORITY APPLN. INFO.:			JP 1983-207015	19831104
OTHER SOURCE(S):	CASREACT 104:33940			
GI				



AB Cephalosporin derivs. (I; R1-4 = H, NO<sub>2</sub>, CF<sub>3</sub>, alkyl, etc.; R5 = H, HO; R6 = H, alkoxy; R7 = acyloxy, heterocyclic thio; n = 0, 2), effective antibacterials at 0.025-100 µg/mL, were prepared. Thus, 0.4 mmol N,O-bis(trimethylsilyl)acetamide was added to a suspension of 0.12 mmol II in MeCN at 0°, stirred at room temperature, cooled to 0°, 0.24 mmol propylene oxide and 0.12 mmol III were added, and the mixture stirred at 0° to give 91% I (R1 = R4 = R5 = R6 = H, R2 = R3 = HO, R7 = 1-methyl-1,2,3,6-tetrazol-5-ylthio, n = 0).

IT 99743-50-7P

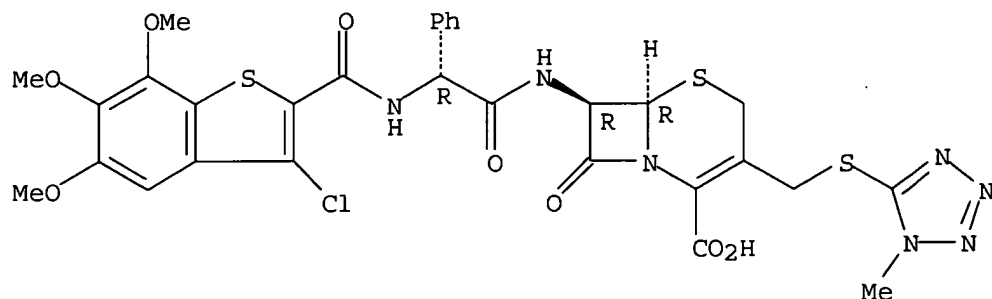
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and antibacterial activity of)

RN 99743-50-7 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,  
7-[[[(3-chloro-5,6,7-trimethoxybenzo[b]thien-2-yl)carbonyl]amino]phenylacetyl]amino]-3-[[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, [6R-[6α,7β(R\*)]]- (9CI) (CA INDEX NAME)

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Absolute stereochemistry.



L3 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1981:65461 CAPLUS

DOCUMENT NUMBER: 94:65461

TITLE: 4-Unsubstituted azetidinone derivatives

INVENTOR(S): Hashimoto, Masashi; Hemmi, Keiji; Kamiya, Takashi; Komori, Tadaaki; Nakaguti, Osamu; Saito, Yoshihisa; Shiokawa, Youichi; Takasugi, Hisahi; Takaya, Takao; Teraji, Tsutomu

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: U.S., 130 pp. Cont.-in-part of U.S. Ser. No. 694,891, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

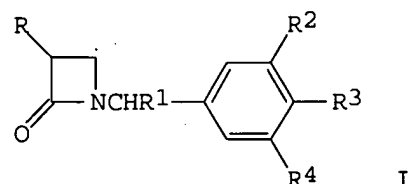
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4207234	A	19800610	US 1977-858375	19771207
US 4472300	A	19840918	US 1980-130205	19800313
PRIORITY APPLN. INFO.:			US 1975-593668	A2 19750707
			US 1976-694891	A2 19760610
			US 1977-858375	A3 19771207

OTHER SOURCE(S): CASREACT 94:65461

GI



AB Lactacillanic acids and analogs I (R = NH<sub>2</sub>, acylamino, benzenesulfonamido; R<sub>1</sub> = CO<sub>2</sub>H, pharmaceutically acceptable salt or ester derivative of CO<sub>2</sub>H; R<sub>2</sub> = H, NH<sub>2</sub>, NO<sub>2</sub>, halo, alkoxy, alkylthio; R<sub>3</sub> = H, OH, alkyl, alkylthio, OCH<sub>2</sub>Ph; R<sub>4</sub> = H, Halo, alkoxy, alkylthio), which showed bactericidal activity, were prepared Thus, 3-aminolactacillanic acid reacted with

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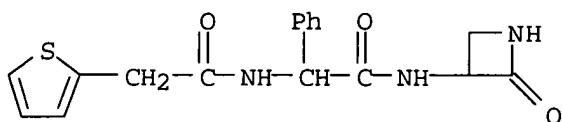
PhCH<sub>2</sub>COCl in water-Me<sub>2</sub>CO containing NaHCO<sub>3</sub> to yield I (R = PhCH<sub>2</sub>CONH, R<sub>1</sub> = CO<sub>2</sub>H, R<sub>3</sub> = OH, R<sub>2</sub> = R<sub>4</sub> = H).

IT 75263-65-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(N-alkylation of)

RN 75263-65-9 CAPLUS

CN 2-Thiopheneacetamide, N-[2-oxo-2-[(2-oxo-3-azetidiny)amino]-1-phenylethyl]- (9CI) (CA INDEX NAME)



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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
30.09	31.84

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-4.38	-4.38

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STN INTERNATIONAL LOGOFF AT 09:00:31 ON 07 MAR 2005